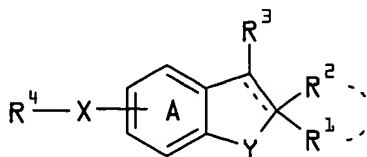


1. (THRICE AMENDED) A compound of the formula:



wherein  $R^1$  and  $R^2$  each represent an acyclic hydrocarbon group, a cycloalkyl group, or  $R^1$  and  $R^2$  form, taken together with the adjacent carbon atom, a 3- to 8-membered substituted or unsubstituted carbo or heterocyclic ring;

$R^3$  represents an unsubstituted or substituted aromatic group;

$R^4$  represents (1) an unsubstituted or substituted aromatic group, (2) an aliphatic hydrocarbon group substituted by an unsubstituted or substituted aromatic group, which hydrocarbon group is optionally further substituted or (3) an acyl;

X and Y each represent an oxygen atom;

--- represents a single bond or a double bond; and

ring A represents a benzene ring optionally further substituted apart from the group of the formula:  $-X-R^4$  wherein each symbol is as defined above,

provided that when --- is a single bond,  $R^4$  is not an acyl,

or a salt thereof.


2. (TWICE AMENDED) A compound of Claim 1,

wherein  $R^1$  and  $R^2$  each is a  $C_{1-6}$  alkyl,  $C_{2-6}$  alkenyl,  $C_{2-6}$  alkynyl,  $C_{3-6}$  cycloalkyl or  $R^1$  and  $R^2$  form, taken together with the adjacent carbon atom, a  $C_{3-8}$  cycloalkane or a 3- to 8-membered heterocyclic ring, each of which is optionally substituted by 1 to 3 substituents selected from the group consisting of  $C_{1-6}$  alkyl,  $C_{6-14}$  aryl,  $C_{7-16}$

aralkyl, amino, mono-C<sub>1-6</sub> alkylamino, mono-C<sub>6-14</sub> arylamino, di-C<sub>1-6</sub> alkylamino, di-C<sub>6-14</sub> arylamino and 5- to 10-membered aromatic heterocyclic group;

R<sup>3</sup> is a C<sub>6-14</sub> aryl or a 5- to 14-membered aromatic heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms,

each of which is optionally substituted by 1 to 3 substituents selected from the group consisting of

- 
- (1) halogen atoms,
  - (2) C<sub>1-3</sub> alkylenedioxy,
  - (3) nitro,
  - (4) cyano,
  - (5) halogenated or unhalogenated C<sub>1-6</sub> alkyl,
  - (6) halogenated or unhalogenated C<sub>2-6</sub> alkenyl,
  - (7) halogenated or unhalogenated C<sub>2-6</sub> alkynyl,
  - (8) halogenated or unhalogenated C<sub>3-6</sub> cycloalkyl,
  - (9) halogenated or unhalogenated C<sub>1-6</sub> alkoxy,
  - (10) halogenated or unhalogenated C<sub>1-6</sub> alkylthio,
  - (11) hydroxy,
  - (12) amino,
  - (13) mono-C<sub>1-6</sub> alkylamino,
  - (14) di-C<sub>1-6</sub> alkylamino,
  - (15) 5- to 7-membered saturated unsubstituted or substituted cyclic amino;

said substituted cyclic amino substituted by 1 to 3 substituents

selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl and 5- to 10-membered aromatic heterocyclic group,

- (16) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C<sub>1-6</sub> alkyl-carbonyl, C<sub>3-6</sub> cycloalkyl-carbonyl, C<sub>1-6</sub> alkoxy-carbonyl, C<sub>6-14</sub> aryl-carbonyl, C<sub>7-16</sub> aralkyl-carbonyl, C<sub>6-14</sub> aryloxy-carbonyl, C<sub>7-16</sub> aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono-C<sub>1-6</sub> alkyl-carbamoyl, di-C<sub>1-6</sub> alkyl-carbamoyl, C<sub>6-14</sub> aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>6-14</sub> arylsulfonyl, C<sub>1-6</sub> alkylsulfinyl and C<sub>6-14</sub> arylsulfinyl,
- (17) acylamino selected from the group consisting of formylamino, C<sub>1-6</sub> alkyl-carboxamido, C<sub>6-14</sub> aryl-carboxamido, C<sub>1-6</sub> alkoxy-carboxamido, C<sub>1-6</sub> alkylsulfonylamino and C<sub>6-14</sub> arylsulfonylamino,
- (18) acyloxy selected from the group consisting of C<sub>1-6</sub> alkyl-carbonyloxy, C<sub>6-14</sub> aryl-carbonyloxy, C<sub>1-6</sub> alkoxy-carbonyloxy, mono-C<sub>1-6</sub> alkyl-carbamoyloxy, di-C<sub>1-6</sub> alkyl-carbamoyloxy, C<sub>6-14</sub> aryl-carbamoyloxy and nicotinoyloxy,
- (19) sulfo,
- (20) C<sub>6-14</sub> aryl and
- (21) C<sub>6-14</sub> aryloxy;

R<sup>4</sup> is (i) a C<sub>6-14</sub> aryl or a 5- to 14-membered aromatic heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms, each of which is optionally substituted by 1 to 3 substituents selected from the group consisting of

- (1) halogen atoms,
- (2) C<sub>1-3</sub> alkylenedioxy,

- E1
- (3) nitro,
  - (4) cyano,
  - (5) halogenated or unhalogenated C<sub>1-6</sub> alkyl,
  - (6) halogenated or unhalogenated C<sub>2-6</sub> alkenyl,
  - (7) halogenated or unhalogenated C<sub>2-6</sub> alkynyl,
  - (8) halogenated or unhalogenated C<sub>3-6</sub> cycloalkyl,
  - (9) halogenated or unhalogenated C<sub>1-6</sub> alkoxy,
  - (10) halogenated or unhalogenated C<sub>1-6</sub> alkylthio,
  - (11) hydroxy,
  - (12) amino,
  - (13) mono-C<sub>1-6</sub> alkylamino,
  - (14) di-C<sub>1-6</sub> alkylamino,
  - (15) 5- to 7-membered saturated unsubstituted or substituted cyclic amino; said substituted cyclic amino substituted by 1 to 3 substituents selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl and 5- to 10-membered aromatic heterocyclic group,
  - (16) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C<sub>1-6</sub> alkyl-carbonyl, C<sub>3-6</sub> cycloalkyl-carbonyl, C<sub>1-6</sub> alkoxy-carbonyl, C<sub>6-14</sub> aryl-carbonyl, C<sub>7-16</sub> aralkyl-carbonyl, C<sub>6-14</sub> aryloxy-carbonyl, C<sub>7-16</sub> aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono-C<sub>1-6</sub> alkyl-carbamoyl, di-C<sub>1-6</sub> alkyl-carbamoyl, C<sub>6-14</sub> aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C<sub>1-6</sub>

alkylsulfonyl, C<sub>6-14</sub> arylsulfonyl, C<sub>1-6</sub> alkylsulfinyl and C<sub>6-14</sub> arylsulfinyl,

(17) acylamino selected from the group consisting of formylamino, C<sub>1-6</sub> alkyl-carboxamido, C<sub>6-14</sub> aryl-carboxamido, C<sub>1-6</sub> alkoxy-carboxamido, C<sub>1-6</sub> alkylsulfonylamino and C<sub>6-14</sub> arylsulfonylamino,

(18) acyloxy selected from the group consisting of C<sub>1-6</sub> alkyl-carbonyloxy, C<sub>6-14</sub> aryl-carbonyloxy, C<sub>1-6</sub> alkoxy-carbonyloxy, mono-C<sub>1-6</sub> alkyl-carbamoyloxy, di-C<sub>1-6</sub> alkyl-carbamoyloxy, C<sub>6-14</sub> aryl-carbamoyloxy and nicotinoyloxy,

(19) sulfo,

(20) C<sub>6-14</sub> aryl and

(21) C<sub>6-14</sub> aryloxy,

(ii) an aliphatic hydrocarbon group selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl and C<sub>3-6</sub> cycloalkyl,

which hydrocarbon group substituted by 1 to 3 C<sub>6-14</sub> aryl or 5- to 14-membered aromatic heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms,

each of which is optionally substituted by 1 to 3 substituents selected from the group consisting of

(1) halogen atoms,

(2) C<sub>1-3</sub> alkylenedioxy,

(3) nitro,

(4) cyano,

- E1
- (5) halogenated or unhalogenated C<sub>1-6</sub> alkyl,
  - (6) halogenated or unhalogenated C<sub>2-6</sub> alkenyl,
  - (7) halogenated or unhalogenated C<sub>2-6</sub> alkynyl,
  - (8) halogenated or unhalogenated C<sub>3-6</sub> cycloalkyl,
  - (9) halogenated or unhalogenated C<sub>1-6</sub> alkoxy,
  - (10) halogenated or unhalogenated C<sub>1-6</sub> alkylthio,
  - (11) hydroxy,
  - (12) amino,
  - (13) mono-C<sub>1-6</sub> alkylamino,
  - (14) di-C<sub>1-6</sub> alkylamino,
  - (15) 5- to 7-membered saturated unsubstituted or substituted cyclic amino; said substituted cyclic amino substituted by 1 to 3 substituents selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl and 5- to 10-membered aromatic heterocyclic group,
  - (16) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C<sub>1-6</sub> alkyl-carbonyl, C<sub>3-6</sub> cycloalkyl-carbonyl, C<sub>1-6</sub> alkoxy-carbonyl, C<sub>6-14</sub> aryl-carbonyl, C<sub>7-16</sub> aralkyl-carbonyl, C<sub>6-14</sub> aryloxy-carbonyl, C<sub>7-16</sub> aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono-C<sub>1-6</sub> alkyl-carbamoyl, di-C<sub>1-6</sub> alkyl-carbamoyl, C<sub>6-14</sub> aryl-carbamoyl, 5- or 6-membered heterocycle

carbamoyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>6-14</sub> arylsulfonyl, C<sub>1-6</sub> alkylsulfinyl and C<sub>6-14</sub> arylsulfinyl,

(17) acylamino selected from the group consisting of formylamino, C<sub>1-6</sub> alkyl-carboxamido, C<sub>6-14</sub> aryl-carboxamido, C<sub>1-6</sub> alkoxy-carboxamido, C<sub>1-6</sub> alkylsulfonylamino and C<sub>6-14</sub> arylsulfonylamino,

(18) acyloxy selected from the group consisting of C<sub>1-6</sub> alkyl-carbonyloxy, C<sub>6-14</sub> aryl-carbonyloxy, C<sub>1-6</sub> alkoxy-carbonyloxy, mono-C<sub>1-6</sub> alkyl-carbamoyloxy, di-C<sub>1-6</sub> alkyl-carbamoyloxy, C<sub>6-14</sub> aryl-carbamoyloxy and nicotinoyloxy,

(19) sulfo,

(20) C<sub>6-14</sub> aryl and

(21) C<sub>6-14</sub> aryloxy,

which hydrocarbon group are optionally further substituted by 1 to 5

substituents selected from the group consisting of

(1) halogen atoms,

(2) C<sub>1-3</sub> alkylenedioxy,

(3) nitro,

(4) cyano,

(5) halogenated or unhalogenated C<sub>1-6</sub> alkyl,

(6) halogenated or unhalogenated C<sub>2-6</sub> alkenyl,

(7) halogenated or unhalogenated C<sub>2-6</sub> alkynyl,

(8) halogenated or unhalogenated C<sub>3-6</sub> cycloalkyl,

(9) C<sub>6-14</sub> aryl,

- E,
- (10) halogenated or unhalogenated  $C_{1-6}$  alkoxy,
  - (11) halogenated or unhalogenated  $C_{1-6}$  alkylthio,
  - (12) hydroxy,
  - (13) amino,
  - (14) mono- $C_{1-6}$  alkylamino,
  - (15) mono- $C_{6-14}$  arylamino,
  - (16) di- $C_{1-6}$  alkylamino,
  - (17) di- $C_{6-14}$  arylamino,
  - (18) acyl selected from the group consisting of formyl, carboxy, carbamoyl,  $C_{1-6}$  alkyl-carbonyl,  $C_{3-6}$  cycloalkyl-carbonyl,  $C_{1-6}$  alkoxy-carbonyl,  $C_{6-14}$  aryl-carbonyl,  $C_{7-16}$  aralkyl-carbonyl,  $C_{6-14}$  aryloxy-carbonyl,  $C_{7-16}$  aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono- $C_{1-6}$  alkyl-carbamoyl, di- $C_{1-6}$  alkyl-carbamoyl,  $C_{6-14}$  aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl,  $C_{1-6}$  alkylsulfonyl,  $C_{6-14}$  arylsulfonyl,  $C_{1-6}$  alkylsulfinyl and  $C_{6-14}$  arylsulfinyl,
  - (19) acylamino selected from the group consisting of formylamino,  $C_{1-6}$  alkyl-carboxamido,  $C_{6-14}$  aryl-carboxamido,  $C_{1-6}$  alkoxy-carboxamido,  $C_{1-6}$  alkylsulfonylamino and  $C_{6-14}$  arylsulfonylamino,
  - (20) acyloxy selected from the group consisting of  $C_{1-6}$  alkyl-carbonyloxy,  $C_{6-14}$  aryl-carbonyloxy,  $C_{1-6}$  alkoxy-carbonyloxy, mono- $C_{1-6}$  alkyl-carbamoyloxy, di- $C_{1-6}$  alkyl-carbamoyloxy,  $C_{6-14}$  aryl-carbamoyloxy and nicotinoyloxy,



(21) 5- to 7-membered saturated unsubstituted or substituted cyclic amino; said substituted cyclic amino substituted by 1 to 3 substituents selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl and 5- to 10-membered aromatic heterocyclic group,

(22) 5- to 10-membered aromatic heterocyclic group and

(23) sulfo, or

(iii) an acyl of the formula:  $-(C=O)-R^5$ ,  $-(C=O)-OR^5$ ,  $-(C=O)-NR^5R^6$ ,  $-(C=S)-NHR^5$ ,  $-SO_2-R^{5a}$  or  $-SO-R^{5a}$

wherein R<sup>5</sup> is

(a) a hydrogen atom,

(b) a C<sub>6-14</sub> aryl or a 5- to 14-membered aromatic

heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms, each of which is optionally substituted by 1 to 3 substituents selected from the group consisting of

(1) halogen atoms,

(2) C<sub>1-3</sub> alkylendioxy,

(3) nitro,

(4) cyano,

(5) halogenated or unhalogenated C<sub>1-6</sub> alkyl,

(6) halogenated or unhalogenated C<sub>2-6</sub> alkenyl,

(7) halogenated or unhalogenated C<sub>2-6</sub> alkynyl,

- (8) halogenated or unhalogenated C<sub>3-6</sub> cycloalkyl,
- (9) halogenated or unhalogenated C<sub>1-6</sub> alkoxy,
- (10) halogenated or unhalogenated C<sub>1-6</sub> alkylthio,
- (11) hydroxy,
- (12) amino,
- (13) mono-C<sub>1-6</sub> alkylamino,
- (14) di-C<sub>1-6</sub> alkylamino,
- (15) 5- to 7-membered saturated unsubstituted or substituted cyclic amino; said substituted cyclic amino substituted by 1 to 3 substituents selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl and 5- to 10-membered aromatic heterocyclic group,
- (16) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C<sub>1-6</sub> alkyl-carbonyl, C<sub>3-6</sub> cycloalkyl-carbonyl, C<sub>1-6</sub> alkoxy-carbonyl, C<sub>6-14</sub> aryl-carbonyl, C<sub>7-16</sub> aralkyl-carbonyl, C<sub>6-14</sub> aryloxy-carbonyl, C<sub>7-16</sub> aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono-C<sub>1-6</sub> alkyl-carbamoyl, di-C<sub>1-6</sub> alkyl-carbamoyl, C<sub>6-14</sub> aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C<sub>1-6</sub> alkylsulfonyl,

C<sub>6-14</sub> arylsulfonyl, C<sub>1-6</sub> alkylsulfinyl and C<sub>6-14</sub> arylsulfinyl,

(17) acylamino selected from the group consisting of formylamino, C<sub>1-6</sub> alkyl-carboxamido, C<sub>6-14</sub> aryl-carboxamido, C<sub>1-6</sub> alkoxy-carboxamido, C<sub>1-6</sub> alkylsulfonylamino and C<sub>6-14</sub> arylsulfonylamino,

(18) acyloxy selected from the group consisting of C<sub>1-6</sub> alkyl-carbonyloxy, C<sub>6-14</sub> aryl-carbonyloxy, C<sub>1-6</sub> alkoxy-carbonyloxy, mono-C<sub>1-6</sub> alkyl-carbamoyloxy, di-C<sub>1-6</sub> alkyl-carbamoyloxy, C<sub>6-14</sub> aryl-carbamoyloxy and nicotinoyloxy,

(19) sulfo,

(20) C<sub>6-14</sub> aryl and

(21) C<sub>6-14</sub> aryloxy, or

(c) a C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl or C<sub>3-6</sub> cycloalkyl unsubstituted or substituted group; said substituted group substituted by 1 to 5 substituents selected from the group consisting of

(1) C<sub>6-14</sub> aryl or 5- to 14-membered aromatic heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms,

each of which is optionally substituted by 1  
to 3 substituents selected from the group  
consisting of

- (1') halogen atoms,
- (2') C<sub>1-3</sub> alkylenedioxy,
- (3') nitro,
- (4') cyano,
- (5') halogenated or unhalogenated C<sub>1-6</sub> alkyl,
- (6') halogenated or unhalogenated C<sub>2-6</sub>  
alkenyl,
- (7') halogenated or unhalogenated C<sub>2-6</sub>  
alkynyl,
- (8') halogenated or unhalogenated C<sub>3-6</sub>  
cycloalkyl,
- (9') halogenated or unhalogenated C<sub>1-6</sub>  
alkoxy,
- (10') halogenated or unhalogenated C<sub>1-6</sub>  
alkylthio,
- (11') hydroxy,
- (12') amino,
- (13') mono-C<sub>1-6</sub> alkylamino,
- (14') di-C<sub>1-6</sub> alkylamino,
- (15') 5- to 7-membered saturated

unsubstituted or substituted cyclic  
amino; said substituted cyclic amino

substituted by 1 to 3 substituents  
selected from the group consisting of  
C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl and 5- to 10-  
membered aromatic heterocyclic  
group,

(16') acyl selected from the group consisting  
of formyl, carboxy, carbamoyl, C<sub>1-6</sub>  
alkyl-carbonyl, C<sub>3-6</sub> cycloalkyl-  
carbonyl, C<sub>1-6</sub> alkoxy-carbonyl, C<sub>6-14</sub>  
aryl-carbonyl, C<sub>7-16</sub> aralkyl-carbonyl,  
C<sub>6-14</sub> aryloxy-carbonyl, C<sub>7-16</sub>  
aralkyloxy-carbonyl, 5- or 6-  
membered heterocycle carbonyl,  
mono-C<sub>1-6</sub> alkyl-carbamoyl, di-C<sub>1-6</sub>  
alkyl-carbamoyl, C<sub>6-14</sub> aryl-  
carbamoyl, 5- or 6-membered  
heterocycle carbamoyl, C<sub>1-6</sub>  
alkylsulfonyl, C<sub>6-14</sub> arylsulfonyl, C<sub>1-6</sub>  
alkylsulfinyl and C<sub>6-14</sub> arylsulfinyl,

(17') acylamino selected from the group  
consisting of formylamino, C<sub>1-6</sub>  
alkyl-carboxamido, C<sub>6-14</sub> aryl-  
carboxamido, C<sub>1-6</sub> alkoxy-  
carboxamido, C<sub>1-6</sub>

alkylsulfonylamino and C<sub>6-14</sub>

arylsulfonylamino,

(18') acyloxy selected from the group

consisting of C<sub>1-6</sub> alkyl-carbonyloxy,

C<sub>6-14</sub> aryl-carbonyloxy, C<sub>1-6</sub> alkoxy-

carbonyloxy, mono-C<sub>1-6</sub> alkyl-

carbamoyloxy, di-C<sub>1-6</sub> alkyl-

carbamoyloxy, C<sub>6-14</sub> aryl-

carbamoyloxy and nicotinoyloxy,

(19') sulfo,

(20') C<sub>6-14</sub> aryl and

(21') C<sub>6-14</sub> aryloxy,

(2) halogen atoms,

(3) C<sub>1-3</sub> alkylenedioxy,

(4) nitro,

(5) cyano,

(6) halogenated or unhalogenated C<sub>1-6</sub> alkyl,

(7) halogenated or unhalogenated C<sub>2-6</sub> alkenyl,

(8) halogenated or unhalogenated C<sub>2-6</sub> alkynyl,

(9) halogenated or unhalogenated C<sub>3-6</sub> cycloalkyl,

(10) halogenated or unhalogenated C<sub>1-6</sub> alkoxy,

(11) halogenated or unhalogenated C<sub>1-6</sub> alkylthio,

(12) hydroxy,

(13) amino,

(14) mono-C<sub>1-6</sub> alkylamino,

(15) di- $C_{1-6}$  alkylamino,

(16) 5- to 7-membered saturated unsubstituted or substituted cyclic amino; said substituted cyclic amino substituted by 1 to 3 substituents selected from the group consisting of  $C_{1-6}$  alkyl,  $C_{6-14}$  aryl and 5- to 10-membered aromatic heterocyclic group,

(17) acyl selected from the group consisting of formyl, carboxy, carbamoyl,  $C_{1-6}$  alkyl-carbonyl,  $C_{3-6}$  cycloalkyl-carbonyl,  $C_{1-6}$  alkoxy-carbonyl,  $C_{6-14}$  aryl-carbonyl,  $C_{7-16}$  aralkyl-carbonyl,  $C_{6-14}$  aryloxy-carbonyl,  $C_{7-16}$  aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono- $C_{1-6}$  alkyl-carbamoyl, di- $C_{1-6}$  alkyl-carbamoyl,  $C_{6-14}$  aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl,  $C_{1-6}$  alkylsulfonyl,  $C_{6-14}$  arylsulfonyl,  $C_{1-6}$  alkylsulfinyl and  $C_{6-14}$  arylsulfinyl,

(18) acylamino selected from the group consisting of formylamino,  $C_{1-6}$  alkyl-carboxamido,  $C_{6-14}$  aryl-carboxamido,  $C_{1-6}$  alkoxy-carboxamido,  $C_{1-6}$  alkylsulfonylamino and  $C_{6-14}$  arylsulfonylamino,

(19) acyloxy selected from the group consisting of

C<sub>1-6</sub> alkyl-carbonyloxy, C<sub>6-14</sub> aryl-  
carbonyloxy, C<sub>1-6</sub> alkoxy-carbonyloxy,  
mono-C<sub>1-6</sub> alkyl-carbamoyloxy, di-C<sub>1-6</sub>  
alkyl-carbamoyloxy, C<sub>6-14</sub> aryl-  
carbamoyloxy and nicotinoyloxy and

(20) sulfo;

R<sup>5a</sup> is

(a) a C<sub>6-14</sub> aryl or a 5- to 14-membered aromatic  
heterocyclic group containing 1 to 4 hetero atoms  
selected from the group consisting of nitrogen, sulfur  
and oxygen atoms in addition to carbon atoms,  
each of which is optionally substituted by 1 to 3  
substituents selected from the group consisting of

- (1) halogen atoms,
- (2) C<sub>1-3</sub> alkylenedioxy,
- (3) nitro,
- (4) cyano,
- (5) halogenated or unhalogenated C<sub>1-6</sub> alkyl,
- (6) halogenated or unhalogenated C<sub>2-6</sub> alkenyl,
- (7) halogenated or unhalogenated C<sub>2-6</sub> alkynyl,
- (8) halogenated or unhalogenated C<sub>3-6</sub> cycloalkyl,
- (9) halogenated or unhalogenated C<sub>1-6</sub> alkoxy,
- (10) halogenated or unhalogenated C<sub>1-6</sub> alkylthio,
- (11) hydroxy,



- (12) amino,
- (13) mono-C<sub>1-6</sub> alkylamino,
- (14) di-C<sub>1-6</sub> alkylamino,
- (15) 5- to 7-membered saturated unsubstituted or substituted cyclic amino; said substituted cyclic amino substituted by 1 to 3 substituents selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl and 5- to 10-membered aromatic heterocyclic group,
- (16) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C<sub>1-6</sub> alkyl-carbonyl, C<sub>3-6</sub> cycloalkyl-carbonyl, C<sub>1-6</sub> alkoxy-carbonyl, C<sub>6-14</sub> aryl-carbonyl, C<sub>7-16</sub> aralkyl-carbonyl, C<sub>6-14</sub> aryloxy-carbonyl, C<sub>7-16</sub> aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono-C<sub>1-6</sub> alkyl-carbamoyl, di-C<sub>1-6</sub> alkyl-carbamoyl, C<sub>6-14</sub> aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>6-14</sub> arylsulfonyl, C<sub>1-6</sub> alkylsulfinyl and C<sub>6-14</sub> arylsulfinyl,
- (17) acylamino selected from the group consisting of formylamino, C<sub>1-6</sub> alkyl-carboxamido, C<sub>6-14</sub> aryl-carboxamido, C<sub>1-6</sub> alkoxy-

E1

carboxamido, C<sub>1-6</sub> alkylsulfonylamino and  
C<sub>6-14</sub> arylsulfonylamino,

(18) acyloxy selected from the group consisting of

C<sub>1-6</sub> alkyl-carbonyloxy, C<sub>6-14</sub> aryl-  
carbonyloxy, C<sub>1-6</sub> alkoxy-carbonyloxy,  
mono-C<sub>1-6</sub> alkyl-carbamoyloxy, di-C<sub>1-6</sub>  
alkyl-carbamoyloxy, C<sub>6-14</sub> aryl-  
carbamoyloxy and nicotinoyloxy,

(19) sulfo,

(20) C<sub>6-14</sub> aryl and

(21) C<sub>6-14</sub> aryloxy, or

(b) a C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl or C<sub>3-6</sub> cycloalkyl  
group optionally substituted by 1 to 5 substituents  
selected from the group consisting of

(1) a C<sub>6-14</sub> aryl or 5- to 14-membered aromatic  
heterocyclic group containing 1 to 4 hetero  
atoms selected from the group consisting of  
nitrogen, sulfur and oxygen atoms in addition to  
carbon atoms, each of which is optionally  
substituted by 1 to 3 substituents selected from  
the group consisting of

(1') halogen atoms,

(2') C<sub>1-3</sub> alkylenedioxy,

(3') nitro,

(4') cyano,

- (5') halogenated or unhalogenated C<sub>1-6</sub> alkyl,  
(6') halogenated or unhalogenated C<sub>2-6</sub>  
alkenyl,  
(7') halogenated or unhalogenated C<sub>2-6</sub>  
alkynyl,  
(8') halogenated or unhalogenated C<sub>3-6</sub>  
cycloalkyl,  
(9') halogenated or unhalogenated C<sub>1-6</sub>  
alkoxy,  
(10') halogenated or unhalogenated C<sub>1-6</sub>  
alkylthio,  
(11') hydroxy,  
(12') amino,  
(13') mono-C<sub>1-6</sub> alkylamino,  
(14') di-C<sub>1-6</sub> alkylamino,  
(15') 5- to 7-membered saturated  
unsubstituted or substituted cyclic  
amino; said substituted cyclic amino  
substituted by 1 to 3 substituents  
selected from the group consisting of  
C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl and 5- to 10-  
membered aromatic heterocyclic  
group,  
(16') acyl selected from the group consisting  
of formyl, carboxy, carbamoyl, C<sub>1-6</sub>

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alkyl-carbonyl, C<sub>3-6</sub> cycloalkyl-carbonyl, C<sub>1-6</sub> alkoxy-carbonyl, C<sub>6-14</sub> aryl-carbonyl, C<sub>7-16</sub> aralkyl-carbonyl, C<sub>6-14</sub> aryloxy-carbonyl, C<sub>7-16</sub> aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono-C<sub>1-6</sub> alkyl-carbamoyl, di-C<sub>1-6</sub> alkyl-carbamoyl, C<sub>6-14</sub> aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>6-14</sub> arylsulfonyl, C<sub>1-6</sub> alkylsulfinyl and C<sub>6-14</sub> arylsulfinyl,

(17') acylamino selected from the group consisting of formylamino, C<sub>1-6</sub> alkyl-carboxamido, C<sub>6-14</sub> aryl-carboxamido, C<sub>1-6</sub> alkoxy-carboxamido, C<sub>1-6</sub> alkylsulfonylamino and C<sub>6-14</sub> arylsulfonylamino,

(18') acyloxy selected from the group consisting of C<sub>1-6</sub> alkyl-carbonyloxy, C<sub>6-14</sub> aryl-carbonyloxy, C<sub>1-6</sub> alkoxy-carbonyloxy, mono-C<sub>1-6</sub> alkyl-carbamoyloxy, di-C<sub>1-6</sub> alkyl-

carbamoyloxy, C<sub>6-14</sub> aryl-

carbamoyloxy and nicotinoyloxy,

(19') sulfo,

(20') C<sub>6-14</sub> aryl and

(21') C<sub>6-14</sub> aryloxy,

(2) halogen atoms,

(3) C<sub>1-3</sub> alkylenedioxy,

(4) nitro,

(5) cyano,

(6) halogenated or unhalogenated C<sub>1-6</sub> alkyl,

(7) halogenated or unhalogenated C<sub>2-6</sub> alkenyl,

(8) halogenated or unhalogenated C<sub>2-6</sub> alkynyl,

(9) halogenated or unhalogenated C<sub>3-6</sub> cycloalkyl,

(10) halogenated or unhalogenated C<sub>1-6</sub> alkoxy,

(11) halogenated or unhalogenated C<sub>1-6</sub> alkylthio,

(12) hydroxy,

(13) amino,

(14) mono-C<sub>1-6</sub> alkylamino,

(15) di-C<sub>1-6</sub> alkylamino,

(16) 5- to 7-membered saturated unsubstituted or

substituted cyclic amino; said substituted

cyclic amino substituted by 1 to 3

substituents selected from the group

consisting of C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl and 5- to

10-membered aromatic heterocyclic group,

FI

(17) acyl selected from the group consisting of  
formyl, carboxy, carbamoyl, C<sub>1-6</sub> alkyl-  
carbonyl, C<sub>3-6</sub> cycloalkyl-carbonyl, C<sub>1-6</sub>  
alkoxy-carbonyl, C<sub>6-14</sub> aryl-carbonyl, C<sub>7-16</sub>  
aralkyl-carbonyl, C<sub>6-14</sub> aryloxy-carbonyl, C<sub>7-16</sub>  
aralkyloxy-carbonyl, 5- or 6-membered  
heterocycle carbonyl, mono-C<sub>1-6</sub> alkyl-  
carbamoyl, di-C<sub>1-6</sub> alkyl-carbamoyl, C<sub>6-14</sub>  
aryl-carbamoyl, 5- or 6-membered  
heterocycle carbamoyl, C<sub>1-6</sub> alkylsulfonyl,  
C<sub>6-14</sub> arylsulfonyl, C<sub>1-6</sub> alkylsulfinyl and C<sub>6-14</sub>  
arylsulfinyl,

(18) acylamino selected from the group consisting  
of formylamino, C<sub>1-6</sub> alkyl-carboxamido, C<sub>6-14</sub>  
aryl-carboxamido, C<sub>1-6</sub> alkoxy-  
carboxamido, C<sub>1-6</sub> alkylsulfonylamino and  
C<sub>6-14</sub> arylsulfonylamino,


(19) acyloxy selected from the group consisting of  
C<sub>1-6</sub> alkyl-carbonyloxy, C<sub>6-14</sub> aryl-  
carbonyloxy, C<sub>1-6</sub> alkoxy-carbonyloxy,  
mono-C<sub>1-6</sub> alkyl-carbamoyloxy, di-C<sub>1-6</sub>  
alkyl-carbamoyloxy, C<sub>6-14</sub> aryl-  
carbamoyloxy and nicotinoyloxy and

(20) sulfo; and

R<sup>6</sup> is a hydrogen atom or a C<sub>1-6</sub> alkyl; and

ring A is a benzene ring optionally further substituted by 1 to 3 substituents

selected from the group consisting of

- 
- (1) halogen atoms,
  - (2) C<sub>1-3</sub> alkylendioxy,
  - (3) nitro,
  - (4) cyano,
  - (5) halogenated or unhalogenated C<sub>1-6</sub> alkyl,
  - (6) halogenated or unhalogenated C<sub>2-6</sub> alkenyl,
  - (7) halogenated or unhalogenated C<sub>2-6</sub> alkynyl,
  - (8) halogenated or unhalogenated C<sub>3-6</sub> cycloalkyl,
  - (9) halogenated or unhalogenated C<sub>1-6</sub> alkoxy,
  - (10) halogenated or unhalogenated C<sub>1-6</sub> alkylthio,
  - (11) hydroxy,
  - (12) amino,
  - (13) mono-C<sub>1-6</sub> alkylamino,
  - (14) di-C<sub>1-6</sub> alkylamino,
  - (15) 5- to 7-membered saturated unsubstituted or substituted cyclic amino;  
said cyclic amino substituted by 1 to 3 substituents selected from  
the group consisting of C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl and 5- to 10-membered  
aromatic heterocyclic group,
  - (16) acyl selected from the group consisting of formyl, carboxy,  
carbamoyl, C<sub>1-6</sub> alkyl-carbonyl, C<sub>3-6</sub> cycloalkyl-carbonyl, C<sub>1-6</sub>  
alkoxy-carbonyl, C<sub>6-14</sub> aryl-carbonyl, C<sub>7-16</sub> aralkyl-carbonyl, C<sub>6-14</sub>  
aryloxy-carbonyl, C<sub>7-16</sub> aralkyloxy-carbonyl, 5- or 6-membered  
heterocycle carbonyl, mono-C<sub>1-6</sub> alkyl-carbamoyl, di-C<sub>1-6</sub> alkyl-

carbamoyl, C<sub>6-14</sub> aryl-carbamoyl, 5- or 6-membered heterocycle  
carbamoyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>6-14</sub> arylsulfonyl, C<sub>1-6</sub> alkylsulfinyl  
and C<sub>6-14</sub> arylsulfinyl,

(17) acylamino selected from the group consisting of formylamino, C<sub>1-6</sub>  
alkyl-carboxamido, C<sub>6-14</sub> aryl-carboxamido, C<sub>1-6</sub> alkoxy-  
carboxamido, C<sub>1-6</sub> alkylsulfonylamino and C<sub>6-14</sub> arylsulfonylamino,

(18) acyloxy selected from the group consisting of C<sub>1-6</sub> alkyl-  
carbonyloxy, C<sub>6-14</sub> aryl-carbonyloxy, C<sub>1-6</sub> alkoxy-carbonyloxy,  
mono-C<sub>1-6</sub> alkyl-carbamoyloxy, di-C<sub>1-6</sub> alkyl-carbamoyloxy, C<sub>6-14</sub>  
aryl-carbamoyloxy and nicotinoyloxy,

(19) sulfo,

(20) C<sub>6-14</sub> aryl and

(21) C<sub>6-14</sub> aryloxy.

3. (TWICE AMENDED) A compound of Claim 1, wherein R<sup>1</sup> and R<sup>2</sup> each is a C<sub>1-6</sub>  
alkyl or R<sup>1</sup> and R<sup>2</sup> form, taken together with the adjacent carbon atom, a 3- to 8-membered  
carbo or heterocyclic unsubstituted or substituted ring.

---

5. (AMENDED) A compound of Claim 1, wherein R<sup>4</sup> is (i) an aliphatic hydrocarbon group  
substituted by an unsubstituted or substituted aromatic group, which hydrocarbon group is  
optionally further substituted or (ii) an acyl.

---

10. (TWICE AMENDED) A compound of Claim 1,  
wherein R<sup>1</sup> and R<sup>2</sup> each is a C<sub>1-6</sub> alkyl or R<sup>1</sup> and R<sup>2</sup> form, taken together with the adjacent  
carbon atom, a 3- to 8-membered carbo or heterocyclic unsubstituted or



substituted ring; said substituted ring substituted by 1 to 3 substituents selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl, C<sub>7-16</sub> aralkyl and 5- to 10-membered aromatic heterocyclic group;

R<sup>3</sup> is a phenyl, 1-naphthyl, 2-naphthyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-quinolyl, 3-quinolyl, 1-isoquinolyl, 1-indolyl, 2-indolyl or 2-benzothiazolyl group, each of which is optionally substituted by 1 to 3 substituents selected from the group consisting of

(1) halogen atoms,

(2) C<sub>1-6</sub> alkyl,

(3) C<sub>1-6</sub> alkoxy,

(4) mono-C<sub>1-6</sub> alkylamino,

(5) di-C<sub>1-6</sub> alkylamino and

(6) 5- to 7-membered saturated unsubstituted or substituted cyclic amino; said

substituted cyclic amino substituted by 1 to 3 substituents selected from

the group consisting of C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl and 5- to 10-membered

aromatic group;

R<sup>4</sup> is

(i) C<sub>1-6</sub> alkyl substituted by a phenyl, 1-naphthyl, 2-naphthyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-quinolyl, 3-quinolyl, 1-isoquinolyl, 1-indolyl, 2-indolyl or 2-benzothiazolyl group, each of which is optionally substituted by 1 to 3 substituents selected from the group consisting of

(1) halogen atoms,

(2) C<sub>1-6</sub> alkyl,

(3) C<sub>1-6</sub> alkoxy,

(4) hydroxy,

- (5) amino,  
(6) mono-C<sub>1-6</sub> alkylamino,  
(7) di-C<sub>1-6</sub> alkylamino,  
(8) carboxy and  
(9) 5- to 7-membered saturated unsubstituted or substituted cyclic amino;

said substituted cyclic amino substituted by 1 to 3 substituents

selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl and 5- to 10-membered aromatic group, which C<sub>1-6</sub> alkyl is optionally

further substituted by carboxy or C<sub>1-6</sub> alkoxy-carbonyl, or

- (ii) a C<sub>1-6</sub> alkyl-carbonyl, C<sub>3-6</sub> cycloalkyl-carbonyl, C<sub>6-14</sub> aryl-carbonyl or C<sub>7-16</sub> aralkyl-carbonyl group, each of which is optionally substituted by 1 to 3 substituents selected from the group consisting of halogen atoms, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, hydroxy, amino, mono-C<sub>1-6</sub> alkylamino, di-C<sub>1-6</sub> alkylamino and carboxy;

X is an oxygen atom;

Y is an oxygen atom; and

ring A is a benzene ring which is optionally further substituted by 1 to 3 substituents

selected from the group consisting of halogen atoms, halogenated or

unhalogenated C<sub>1-6</sub> alkyl, halogenated or unhalogenated C<sub>1-6</sub> alkoxy, amino,

mono-C<sub>1-6</sub> alkylamino and di-C<sub>1-6</sub> alkylamino.

11. (TWICE AMENDED) A compound of Claim 1,

wherein R<sup>1</sup> and R<sup>2</sup> each is a C<sub>1-6</sub> alkyl or R<sup>1</sup> and R<sup>2</sup> form, taken together with the adjacent carbon atom, a piperidine optionally substituted by 1 to 3 substituents selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>6-14</sub> aryl and C<sub>7-16</sub> aralkyl;

$R^3$  is a phenyl optionally substituted by 1 to 3 substituents selected from the group consisting of halogen atoms,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, amino, mono- $C_{1-6}$  alkylamino and di- $C_{1-6}$  alkylamino;

$R^4$  is

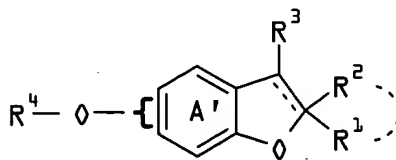
- (i)  $C_{1-6}$  alkyl substituted by a phenyl or pyridyl, each of which is optionally substituted by 1 to 3 substituents selected from the group consisting of halogen atoms,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, hydroxy, amino, mono- $C_{1-6}$  alkylamino, di- $C_{1-6}$  alkylamino and carboxy, or
- (ii) an acyl of the formula:  $-(C=O)-R^{5'}$  wherein  $R^{5'}$  is a phenyl or phenyl- $C_{1-6}$  alkyl, each of which is optionally substituted by 1 to 3 substituents selected from the group consisting of halogen atoms,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, hydroxy, amino, mono- $C_{1-6}$  alkylamino, di- $C_{1-6}$  alkylamino and carboxy;

X is an oxygen atom;

Y is an oxygen atom; and

ring A is a benzene ring which is optionally further substituted by 1 to 3 substituents selected from the group consisting of halogen atoms, halogenated or unhalogenated  $C_{1-6}$  alkyl, halogenated or unhalogenated  $C_{1-6}$  alkoxy, amino, mono- $C_{1-6}$  alkylamino and di- $C_{1-6}$  alkylamino.

12. (TWICE AMENDED) A compound of Claim 1 which is a compound of the formula:



wherein  $R^1$  and  $R^2$  each is  $C_{1-6}$  alkyl or  $R^1$  and  $R^2$  form, taken together with the adjacent carbon atom, a piperidine substituted by a  $C_{1-6}$  alkyl or a  $C_{7-16}$  aralkyl;

*Sub G1*  
R<sup>3</sup> is a phenyl optionally substituted by 1 to 3 substituents selected from the group consisting of (1) C<sub>1-6</sub> alkyl, (2) di-C<sub>1-6</sub> alkylamino and (3) 6-membered saturated cyclic amino optionally substituted by a C<sub>1-6</sub> alkyl,

R<sup>4</sup> is

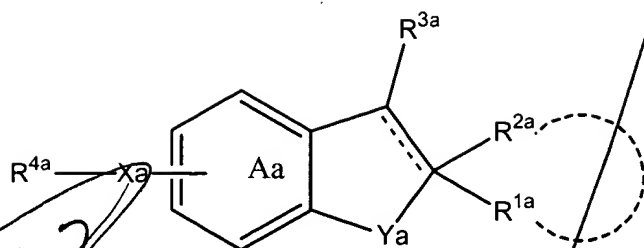
- E3*  
*Cont*
- (i) a phenyl optionally substituted by 1 to 3 substituents selected from the group consisting of nitro and C<sub>1-6</sub> alkyl-carboxamido,
  - (ii) a C<sub>1-6</sub> alkyl or C<sub>2-6</sub> alkenyl group substituted by 1 to 3 of phenyl, quinolyl or pyridyl, each of which is optionally substituted by 1 to 3 substituents selected from the group consisting of C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylthio, C<sub>1-6</sub> alkoxy-carbonyl, C<sub>1-6</sub> alkylsulfonyl and C<sub>1-6</sub> alkylsulfinyl, which C<sub>1-6</sub> alkyl or C<sub>2-6</sub> alkenyl group is optionally further substituted by a phenyl, carboxy or C<sub>1-6</sub> alkoxy-carbonyl, or
  - (iii) an acyl of the formula: -(C=O)-R<sup>5''</sup>

wherein R<sup>5''</sup> is phenyl substituted by a C<sub>1-6</sub> alkoxy; and

ring A' is a benzene ring which is optionally further substituted by 1 to 3 C<sub>1-6</sub> alkyl.

13. (TWICE AMENDED) A compound of Claim 1 which is 3-(4-isopropylphenyl)-2,4,6,7-tetramethylbenzofuran-5-yl 4-methoxybenzoate, 3-(4-isopropylphenyl)-5-(4-methoxybenzyloxy)-2,4,6,7-tetramethylbenzofuran, 3-(4-isopropylphenyl)-5-(4-methoxybenzyloxy)-1',4,6,7-tetramethylspiro(benzofuran-2(3H), 4'-piperidine), or a salt thereof.

- E4*  
*Sub G2*
22. (FOUR TIMES AMENDED) A method for suppressing  $\beta$ -amyloid toxicity in a mammal, which comprises administering to said mammal an effective amount of a compound of the formula:



wherein  $R^{1a}$  and  $R^{2a}$  each represents a hydrogen atom or a hydrocarbon group which is optionally substituted, or  $R^{1a}$  and  $R^{2a}$  form, taken together with the adjacent carbon atom, a 3- to 8-membered carbo or heterocyclic unsubstituted or substituted ring;

$R^{3a}$  represents a hydrogen atom or an unsubstituted or substituted aromatic group;

$R^{4a}$  represents an unsubstituted or substituted aromatic group, an unsubstituted or substituted aliphatic hydrocarbon group or an acyl;

$Xa$  represents an oxygen atom;

$Ya$  represents an oxygen atom;

---- represents a single bond or a double bond;

ring Aa represents a benzene ring which is optionally further substituted apart from (i)

the group of the formula:  $-Xa-R^{4a}$  wherein each symbol is as defined above, and

(ii) an unsubstituted or substituted amino;

provided that when ---- is a single bond,  $R^{4a}$  is not an acyl,

or a pharmaceutically acceptable salt thereof

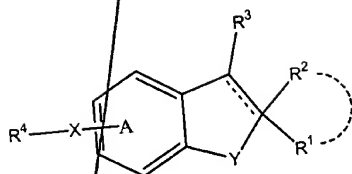
with a pharmaceutically acceptable excipient, carrier or diluent.

25. (TWICE AMENDED) A method for suppressing  $\beta$ -amyloid toxicity in a mammal,

which comprises administering to said mammal an effective amount of a compound of the

formula:

Dr. G. S.



wherein  $R^1$  and  $R^2$  each represent an acyclic hydrocarbon group, a cycloalkyl group, or  $R^1$  and  $R^2$  form, taken together with the adjacent carbon atom, a 3- to 8-membered carbo or heterocyclic unsubstituted or substituted ring;

$R^3$  represents an unsubstituted or substituted aromatic group;

$R^4$  represents (1) an unsubstituted or substituted aromatic group, (2) an aliphatic hydrocarbon group substituted by an unsubstituted or substituted aromatic group, which hydrocarbon group is optionally further substituted or (3) an acyl;

X and Y each represent an oxygen atom;

----- represents a single bond or a double bond;

and Ring A represents a benzene which is optionally further substituted apart from the group of the formula:  $-X-R^4$  wherein each symbol is as defined above,

provided that when ----- is a single bond,  $R^4$  is not an acyl,

or a salt thereof

with a pharmaceutically acceptable excipient, carrier or diluent.

26. (TWICE AMENDED) A method of claim 25, which is a method for treating Alzheimer's disease.

28. (TWICE AMEMDED) A method of claim 22, which is a method for treating Alzheimer's disease.

Ex